Connecting via Winsock to STN

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:21:18 ON 30 JUL 2009

=> file reg

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Uploading C:\Program Files\Stnexp\Queries\11599002.str

chain nodes : 11 12 13 14 15 ring nodes :

10/599,002

1 2 3 4 5 6 7 8 9 10 chain bonds:
6-14 10-11 11-12 12-13 14-15 ring bonds:
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 exact/norm bonds:
10-11 11-12 12-13 14-15 exact bonds:
6-14 normalized bonds:
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 isolated ring systems:
containing 1:

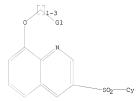
G1:N, Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR



G1 N, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 11:22:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 68 TO ITERATE

100.0% PROCESSED 68 ITERATIONS SEARCH TIME: 00.00.01 2 ANSWERS

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Quinoline, 3-(phenylsulfonyl)-8-[3-(1-piperidinyl)propoxy]-, hydrochloride (1:1)

30 ANSWERS

MF C23 H26 N2 O3 S . C1 H

● HC1

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s 11 full

FULL SEARCH INITIATED 11:22:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1471 TO ITERATE

100.0% PROCESSED 1471 ITERATIONS

SEARCH TIME: 00.00.01

L3 30 SEA SSS FUL L1

=> file ca

=> s 13 L4 1 L3

=> d ibib abs fhitstr

Page 3

L4 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 143:386931 CA

ACCESSION NUMBER: 143:386931 CA TITLE: Preparation of

3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT6 receptor antagonists for the treatment of CNS

as 5-HI6 1 disorders

INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller,

APPLICATION NO.

DATE

Neil Derek; Trani, Giancarlo; Witty, David R.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R

KIND DATE

SOURCE: PCT Int. Appl., 34 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. K

| | 120141 | 110. | | | 1(114) | _ | DHIL | | | | | 1014 | | | | | | |
|---------|--------|------|------|-----|--------|------|------|------|------|-------|------|------|------|-----|-----|------|-----|----|
| WC | 2005 | 0953 | 46 | | A1 | | 2005 | 1013 | | WO 2 | 005- | GB11 | 06 | | 2 | 0050 | 324 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | | | | | | RU, | | | | | | | | | | | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | | | | | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | | | | TD, | | | | | | | | | | | | | |
| | 1730 | | | | | | | | | EP 2 | 005- | 7291 | 57 | | 2 | 0050 | 324 | |
| EF | 1730 | | | | | | | | | | | | | | | | | |
| | R: | ΑT, | | | | | | | | | | | | | | | | |
| | | | | | | | MC, | | | | | | | | | | | |
| | 2007 | | | | | | 2007 | | | | | | | | | | | |
| | 4071 | | | | | | | | | | | | | | | | | |
| | 2313 | | | | | | 2009 | | | | | | | | | | | |
| | 2007 | | | | A1 | | 2007 | 0816 | | | | | | | | | | |
| PRIORIT | Y APE | LN. | INFO | . : | | | | | | GB 2 | | | | | | | | |
| | | | | | | | | | | WO 2 | | | | | W 2 | 0050 | 324 | |
| OTHER S | OURCE | (S): | | | CASI | REAC | T 14 | 3:38 | 6931 | ; MAI | RPAT | 143 | :386 | 931 | | | | |

Page 4

AB The title compds. I [Rl = (un)substituted NH2 or a N containing heterocycly1; X = a bond, (un)substituted CH2, (CH2)2, etc.; R2 = halo, CN, CF3, etc.; n = 0-3; R3, R4 = H, halo, CN, etc.; A = (un)substituted (heterolary1, arylary1, etc.], useful in the treatment of CNS and other disorders, were prepared Thus, reacting 2-dimethylaminoethanol with 3-phenylsulfony1-8-iodoquinoline (preparation given) afforded 48% I [Rl = NMe2; X = (CH2)2; R2-R4 = H; A = Ph] which was converted to its HCl salt which showed antagonist potency for the 5-HT6 receptor, having fpKi > 8.0 at human cloned 5-HT6 receptors. The pharmaceutical composition comprising the compound I is disclosed.

IT 866782-65-2P

RN

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT6 receptor antagonists for the treatment of CNS disorders) 866782-65-2 CA

CN Quinoline, 3-(phenylsulfonyl)-8-[2-(1-pyrrolidinyl)ethoxy]- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file marpat COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 191.95 5.85 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -0.78 CA SUBSCRIBER PRICE -0.78

FILE 'MARPAT' ENTERED AT 11:22:40 ON 30 JUL 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 151 ISS 4 (20090724/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090149676 11 JUN 2009 DE 102007059214 10 JUN 2009 EP 2065708 03 JUN 2009 .TP 2009137851 25 JUN 2009 WO 2009074534 18 JUN 2009 GB 2453808 22 APR 2009 FR 2924713 12 JUN 2009 2357978 10 JUN 2009 RU CA 2643394 07 MAY 2009

The new MARPAT User Guide is now available at: http://www.cas.org/support/stngen/stndoc/marpat.html.

=> s 13 full

FULL SEARCH INITIATED 11:22:45 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED -8854 TO ITERATE

100.0% PROCESSED 8854 ITERATIONS SEARCH TIME: 00.00.04

14 SEA SSS FUL L1

=> d ibib abs fghit 1-14

L5 ANSWER 1 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 149:425659 MARPAT

TITLE: Preparation of phenylnaphthalenols and related compounds as (17) \(\beta\)-hydroxy steroid dehydrogenase

inhibitors

INVENTOR(S): Hartmann, Rolf; Frotscher, Martin; Oberwinkler, Sandrine: Ziegler, Erika: Messinger, Josef: Thole,

Heinrich-Hubert

PATENT ASSIGNEE(S):

Universitaet des Saarlandes, Germany SOURCE: PCT Int. Appl., 125pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PAT | ENT | NO. | | KII | ND | DATE | | | Al | PPLI | CATI | ON NO | ο. | DATE | | | |
|-----|------|-------|-----|-----|-----|------|------|-----|-----|------|------|-------|-----|------|------|-----|-----|
| | | | | | | | | | - | | | | | | | | |
| WO | 2008 | 1169 | 20 | A. | 2 | 2008 | 1002 | | W | 20 | 08-E | P536 | 72 | 2008 | 0327 | | |
| WO | 2008 | 31169 | 20 | A. | 3 | 2009 | 0402 | | | | | | | | | | |
| | W: | AE, | AG, | AL, | AM, | AO, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, |
| | | CA | CH | CM | co. | CD | CII | CZ | DE | DV. | DM | DO. | D7 | EC. | DD. | EC. | FS |

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,

14 ANSWERS

ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA DE 2007-10200701516920070327 DE 102007015169 A1 20081002 PRIORITY APPLN. INFO.: DE 2007-10200701516920070327

R8 R6 R7 R5 W R10 R4 R1 но R2 R3 Ι II

AB Title compds. I [W, X, Y, Z = C=, N=; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, halo, OH, etc.; R4 = H, OH; R5 = H, halo, OH, etc.; R6 = H, halo, OH, etc.; R7 = H, halo, OH, etc.; R8 = H, halo, OH, etc. R9 = H, OH, CN, etc.; R10 = H, OH, CN, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, Suzuki coupling of 6-bromo-2-naththol and phenylboroic acid afforded claimed phenylnaphthalenol II in 87% yield. In (17)β-hydroxysteroid dehydrogenase 1 inhibition assays, 17-examples of compds. I exhibited IC50 values ranging from 7-840 nM.

MSTR 1

G4-G19

G4 = 45

4G12-G10

G5 = bond

G10 = heteroaryl <containing up to 12 atoms,

1 or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms) > (opt. substd.) / Ph

G12 = SO2

G19

G22 = 108 / 115

= 268 / N G32

268 G22

Patent location: claim 1

Note: and pharmacologically acceptable salts

Note: substitution is restricted Note: also incorporates claim 11

L5 ANSWER 2 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

148:419118 MARPAT ACCESSION NUMBER:

TITLE: Measurement of rate of light-induced change of dye optical property in presence of probe-target hybrids

for detecting polynucleotides

INVENTOR(S): Bupp, Charles Robert; Choi, K. Yeon; Koshinsky,

Heather; Nulf, Christopher; Urdea, Mickey; Wang, Miaomiao; Zwick, Michael

PATENT ASSIGNEE(S): USA SOURCE: U.S. Pat. Appl. Publ., 66pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE . English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 20080096193 A1 20080424 US 2006-362359 PRIORITY APPLN. INFO.: US 2006-362359 20061024

AB Methods of determining the presence or amount of a target polynucleotide in a sample are provided. A sample that contains a target polynucleotide, a nucleic acid analog that is complementary to a target nucleic acid sequence of the target polynucleotide, and a cvanine dve for which the rate of change in an optical property is different in the presence and absence of a target polynucleotide/nucleic acid analog hybrid are combined to produce a reaction mixture The rate of change in an optical property of the dye in the reaction mixture is compared to a reference value characteristic of the rate of change in the optical property of the dye in a similar

reaction mixture containing a known amount of a polynucleotide/nucleic acid analog

hybrid to determine a relative rate of change in the optical property. The relative rate of change in the optical property of dye in the reaction mixture is correlated with the presence or amount of the specified target polynucleotide in the sample.

MSTR 1

0 or more double bonds, 0 or more triple bonds>

claim 1

L5 ANSWER 3 OF 14 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 147:358263 MARPAT

(opt. substd. by 1 or more G11)

= Ph (opt. substd.)

TITLE: Carbocyanine dye dimers linked by a conjugated alkenyl chain for use in detection of nucleic acid

additional interruption also claimed substitution is restricted

or salts or esters

G22

Note:

Note:

Note:

Patent location:

hybridization

INVENTOR(S): Bupp, Charles R., II; Choi, K. Yeon; Holmes-Davis, Rachel Anne; Izmailov, Alexander; Koshinsky, Heather;

Rachel Anne; Izmailov, Alexander; Koshinsky, Heather Nulf, Christopher J.; Urdea, Micky; Wang, Miaomiao;

Warner, Brian David; Zwick, Michael PATENT ASSIGNEE(S): Investigen, Inc., USA

SOURCE: PCT Int. Appl., 229 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | | | | | DATE | | | | PPLI | | | | DATE | | | |
|---------|------------|------------|------------|------------|------------|-------------------|------------|-----|-----|------|------|------|-----|---------------------|------|-----|-----|
| WO | 2007 | 1007 | 11 | Α | | 2007 | 0907 | | | | | | | 2007 | 0223 | | |
| | | AE,
CN, | AG,
CO, | AL,
CR, | AM,
CU, | AT,
CZ,
HN, | AU,
DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | KP, | KR, | ΚZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, |
| | | RS, | RU, | SC, | SD, | SE,
UZ, | SG, | SK, | SL, | SM, | sv, | | | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | | | | | | |
| | | CF, | CG, | CI, | CM, | GA,
MZ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| US | 2007 | | | | | TJ,
2007 | | | | | | 1066 | 7 | 2007 | 0223 | | |
| EP | 2010
R: | | | | | 2009
CY, | | | | | | | | | | HU, | IE, |
| PRIORIT | Y APP | | | | LT, | LU, | LV, | MC, | U | S 20 | 06-7 | 7659 | 5P | SI,
2006
2007 | 0224 | TR | |

AB Dimeric carbocyanine dyes linked by a conjugated alkenyl moiety that change optical properties upon binding nucleic acids are described for use in quant. hybridization assays. The dyes can be used as reporters in assays using nucleic acid probes, or with analogs such as peptide nucleic acids or locked nucleic acids as probes. The rate of change in an optical property of the dye in the hybridization is compared to a reference value characteristic of the rate of change in the optical property of the dye in a similar reaction mixture containing a known quantity of a to determine a relative

rate of change in the optical property. The relative rate of change in the optical property of dye in the reaction mixture is correlated with the presence or amount of the specified target polynucleotide in the sample. The dyes are hydrophobic and a detergent is necessary for their solubilization. Optimization of assay conditions and the determination of sensitivities of assays using different conditions, probe types, light sources and analyte sequence concus. are reported.

MSTR 1

```
G1
G1
     = 31 / 33 / 38
G10-G6 G7-G10-G19
     = 58 / 60 / 65
G3
           G18-G10-G20
                           65 G22
      = bond
G5
      = 54-17 55-19
G3 G3
G9
      = SO2
G10
      = 0
G11
      = heteroaryl <containing 1 or more heteroatoms,
       zero or more N, zero or more O,
        zero or more S (no other heteroatoms), mono- or bicyclic>
G17
       = carbon chain <containing 1-9 C,
        0 or more double bonds, 0 or more triple bonds>
        (opt. substd. by 1 or more G11)
      = Ph (opt. substd.)
Patent location:
Note:
                           or salts or esters
Note:
                           additional interruption also claimed
Note:
                           substitution is restricted
L5 ANSWER 4 OF 14 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:
                        146:358716 MARPAT
TITLE:
                         Preparation of alkyl sulfoxide quinolines as Nk-3
                         receptor ligands
INVENTOR(S):
                        Albert, Jeffrev S.; Koether, Gerard M.; Alhambra,
                        Cristobal; Kang, James; Simpson, Thomas R.; Woods,
                        James; Li, Yan
PATENT ASSIGNEE(S):
                        Astrazeneca AB, Swed.
SOURCE:
                        PCT Int. Appl., 68pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
```

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PA | TENT | | KI | | DATE | | | | | CATI | | | DATE | | | | |
|---------|-------|-----|------|-----|------|------|------|-----|-----|------|------|------|------|------|------|-----|-----|
| WO | 2007 | | | | | | | | | | | | | 2006 | 0919 | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | | | | | | | | | | | | | KG, | | | |
| | | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, |
| | | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO. | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RS, |
| | | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, |
| | | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | BJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | ΚZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| | 2006 | | | | | | | | | | | | | | | | |
| | 2621 | | | | | | | | | | | | | | | | |
| EP | 1928 | 835 | | A | 1 | 2008 | 0611 | | E | P 20 | 06-7 | 8418 | 9 | 2006 | 0919 | | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, |
| | | | | MK, | | | | | | | | | | | | | |
| | 2009 | | | | | 2009 | | | | | 08-5 | | | 2006 | | | |
| | 2008 | | | | | 2008 | | | | | 08-3 | | | 2008 | | | |
| | 2008 | | | | | 2008 | | | | | 08-7 | | | 2008 | | | |
| | 2008 | | | | | | | | | | 08-D | | | 2008 | | | |
| | 2008 | | | | | | | | | | | | | 2008 | | | |
| | 2008 | | | | | 2008 | | | | | | | | 2008 | | | |
| | 1013 | | | A | | 2008 | 1126 | | | | | | | 2008 | | | |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | | | | | 2005 | | | |
| | | | | | | | | | | | | | | 2005 | | | |
| | | | | | | | | | W | 20 | 06-S | E106 | 8 | 2006 | 0919 | | |
| GI | | | | | | | | | | | | | | | | | |

Title compds. represented by the formula I [wherein R1 = H, (cyclo)alkyl or alkyl-OCO-; A = Ph or cycloalkyl; R2, R3 = independently H, OH, NH2, etc.; n = 1-3; R4 = E-SOr-(CH2)p-; E = (cyclo)alkyl or (hetero)aryl; p = 0-6; r = 0-2; R5 = independently H, halo, amino, etc.; q = 1-3; and stereoisomer, enantiomer, in vivo-hydrolyzable precursor or pharmaceutically acceptable salts thereof] were prepared as NK-3 receptor ligands. For example, amidation of 3-(methylthio)-2-phenylquinoline-4-carboxylic acid with ((S)-(-)-1-phenylpropyl)amine gave II in 70% yield. The biol. test for NK-3 receptor binding activity was described (no data). I and their pharmaceutical compns. are useful for the treatment or prophylaxis of a disease or condition in which modulation of the NK-3 receptor is beneficial, such as mental and behavioral disorders (no data).

MSTR 1

```
G1
          C(O)-NH-CH-G2
G5
                  G3
                       .G3
G5
            G3
                       G3
                  Ġ3
G4
       = 51 / 299
G12-G13-G14
                 .G13-G15
G5
       = 75
_G18-G9
```

75

G9 = alkyl <containing 1-6 C> (opt. substd. by 1 or more G10) G10 = NH2 G12 = (0-6) CH2

G13 = S02 G14 = 4-pyridy1 G18 = O

Patent location: claim 1

Note: or in vivo hydrolysable precursors or pharmaceutically acceptable salts Stereoisomers or enantiomers

Stereochemistry: or stereoisomers or enantiomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 14 MARPAT COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 145:377221 MARPAT

TITLE: Preparation of dihydro pyridines, quinolines, and

isoquinolines as anti-Alzheimer agents.

INVENTOR(S): Marsais, Francis; Bohn, Pierre; Levacher, Vincent; Le

Fur, Nicolas

PATENT ASSIGNEE(S): Insa Rouen, Fr.; Gous Inc. SOURCE: PCT Int. Appl., 136pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA: | TENT : | NO. | | KI | ND | DATE | | | Al | PPLI | CATI | и ис | ٥. | DATE | | | |
|--------|--------|------|-------|-----|------|------|-------|-------|------|------|------|-------|-----|------|-------|-----|----|
| | 2006 | | | | | | | | W | 20 | 06-E | P378 | 7 | 2006 | 0329 | | |
| | | | | | | AT, | | | RΔ | RR | BG | BB | ВW | RY | B7. | CA | CI |
| | | | | | | CZ, | | | | | | | | | | | |
| | | | | | | HU, | | | | | | | | | | | |
| | | | | | | LS, | | | | | | | | | | | |
| | | | | | | NO. | | | | | | | | | | | |
| | | | | | | SY. | | | | | | | | | | | |
| | | VN. | YU. | ZA, | ZM. | ZW | | | | | | | | | | | |
| | RW: | | | | | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | Ι |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | В |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | G |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | В |
| | | | | | | ТJ, | | | | | | | | | | | |
| EP | 1731 | | | | | | | | | | | | | | | | |
| | R: | | | | | CY, | | | | | | | | | | | |
| | | | | | | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | В |
| | | | | MK, | | | | | | | | | | | | | |
| | 2006 | | | | | | | | | | | | | | | | |
| | 2603 | | | | | | | | | | | | | | | | |
| EP | 1868 | | | | | | | | | | | | | | | | |
| | R: | | | | | CY, | | | | | | | | | | | 1 |
| | | | | | | LU, | | | | | | | | | | TR | |
| | 2007 | | | | | | | | | | | | | | | | |
| | 2009 | | | | 1 | 2009 | 0305 | | | | | | | 2008 | | | |
| DRIT: | Y APP | LN. | TNF.O | . : | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | 2005 | | | |
| 7D C/ | DURCE | /C). | | | 03.0 | DEAC | m 1.4 | E. 27 | | J 20 | 00-E | - 3/0 | ' | 2006 | 0.529 | | |
| 21/ 2/ | JORGE | (0): | | | CAS | MEAC | 1 14. | 0.37 | 1221 | | | | | | | | |

AB Title compds. [I; dotted lines = double bond between CR5-CR6, and another double bond between either CR2CR3 or CR3CR4; R1-R6 = H, OH, alkyl, aryl,

GI

heteroaryl, aralkyl, alkylaryl, alkoxy, hydroxyalkyl, alkoxyalkyl, Ph, (CH2)nCO2H, Z, Zl; R4R5, R5R6 = atoms to form (substituted) 6-membered aryl, 5-6 membered heterocyclyl; 2l of R2, R3, R5 = CO2R, COSR, CONRR', cyano, COR, CF3, SOR, SO2R, SONRR', SO2NRR', NO2, halo, heteroaryl; R, R' = H, alkyl, cycloalkyl, aminoalkyl, aryl, heteroaryl, etc.; NRR' = (substituted) heterocyclyl; Z = LmZl; L = alkyl, aryl, heteroaryl, aralkyl; Zl = XC(:Y)NR7R8; X, Y = O, S; R7, R8 = H, alkyl, aryl, heteroaryl, aralkyl; Zl = XC(:Y)NR7R8; X, Y = O, S; R7, R8 = H, alkyl, aryl, heteroaryl, aralkyl, Ph, cyclopropyl, (CH2)nCO2H; n = 1-6; with a provisol, were prepared Thus, Et — L-methyl-7-N, N-dimethylcarbamoyloxy-l, 4-dihydroquinoline-3-carboxylate (5-step preparation from 3-cyano-7-methoxyquinoline given) inhibited human acetylcholinesterase with ICSO = 0.5 ptM.

MSTR 1

G11

G12 = 169

= SO2



G13 = 0 G14 = NH2 G17 = 166

1611-G12

G34 = 339

,G11-G12

Patent location: claim 1

G9 is optionally present Note: Note: substitution is restricted

Note: or pharmaceutically acceptable salts

Note: also incorporates claim 2, structure G+ and claim

40, structures E1, E2, and E3

Stereochemistry: or stereoisomers

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 144:88181 MARPAT

TITLE: Heteroaryl sulfones and sulfonamides and the preparation, pharmaceutical compositions, and

therapeutic uses thereof, particularly for treatment

of proliferative diseases such as cancer INVENTOR(S): Reddy, Premkumar E.; Reddy, Ramana M. V.

PATENT ASSIGNEE(S): Temple University-of the Commonwealth System of Higher Education, USA

PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | A | PPLICATION NO. | DATE |
|--------------------------------|-------------|-------------|-----------------|------------------------------------|
| WO 2005123077
WO 2005123077 | A2 2005 | | O 2005-US20023 | 20050608 |
| W: AE, AG, | | AU, AZ, BA, | | BY, BZ, CA, CH, |
| GE, GH, | GM, HR, HU, | ID, IL, IN, | IS, JP, KE, KG, | ES, FI, GB, GD,
KM, KP, KR, KZ, |
| | | | | MW, MX, MZ, NA,
SD, SE, SG, SK, |
| SL, SM, | SY, TJ, TM, | TN, TR, TT, | TZ, UA, UG, US, | UZ, VC, VN, YU, |

SOURCE:

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ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
              EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
              RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
              MR, NE, SN, TD, TG
     AU 2005253966 A1 20051229
                                             AU 2005-253966 20050608
     CA 2569705
                       A1 20051229 CA 2005-2569705 20050608
A2 20070328 EP 2005-763374 20050608
     EP 1765804
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
              IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
              HR, LV, MK, YU
     JP 2008501804 T 20080124
IN 2006DN07044 A 20070713
                                              JP 2007-527659
                                                                20050608
                       A
                             20070713
                                              IN 2006-DN7044 20061123
     IN 2006DN07044 A 20070715
US 20070232649 A1 20071004
MX 2006014230 A 20070214
KR 2007034574 A 20070328
                                             US 2006-628019 20061128
                                             MX 2006-14230
                                                                20061206
                                             KR 2007-700456
                                                                20070108
PRIORITY APPLN. INFO.:
                                              US 2004-578162P 20040608
                                              WO 2005-US20023 20050608
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [wherein: R1 = halo, hydrocarbyl, acyl, various disubstituted amino or substituted hydroxy derivs., NO2, cyano, PO3H2 diesters, mono- or disubstituted SO2NH2, quanidino or monohydrocarbyl derivs., haloalkyl, or heteroalkyl; R2 = (un)substituted (hetero)aryl; M = bond, NR3, CH:CH, CHR4, CH(R4)A(CH:CH)m; N(R3)A(CH:CH)m; R3, R4 = H, alkyl; A = SO2, CO; Q = O, S, or NH; n = 0-4; m = 0-1; with provisos; including salts] are disclosed. I are useful as antiproliferative agents including, for example, as anticancer agents. Examples include approx. 60 prepared compds. I and 2 bioassays. Over 350 invention compds. are also named in claims. For instance, cyclocondensation of 5-bromosalicylaldehyde with [(4-methoxyanilino)sulfonyl]acetic acid in refluxing AcOH in the presence of PhCH2NH2 gave invention compound II. tests against 5 human tumor cell lines, invention compound III had 50% growth-inhibitory concns. (IG50) of 12-16 uM.

MSTR 1

$$G4 - SO_2 - G24$$

$$G1 = O / NH$$

$$G2 = 199$$

G2

$$G4 = 8$$

$$G24 = 123$$

= alkylene <containing 2-6 C>

Patent location: claim 1

Note: additional ring formation also claimed

Note: substitution is restricted

Note: or salts

Note: also incorporates claim 47 and 48

L5 ANSWER 7 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 143:386931 MARPAT

TITLE: Preparation of

3-[(hetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT6 receptor antagonists for the treatment of CNS

disorders Ahmed, Mahmood; Johnson, Christopher Norbert; Miller,

Neil Derek; Trani, Giancarlo; Witty, David R.

Glaxo Group Limited, UK; Witty, David R PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent.

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

| PAT | ENT 1 | . OI | | KI | /ID | DATE | | | Al | PPLI | CATI | ON N | ο. | DATE | | | | |
|------|-------|------|-----|-----|-----|------|------|-----|-----|------|------|------|-----|------|------|-----|-----|----|
| | | | | | | | | | | | | | | | | | | |
| WO : | 2005 | 0953 | 16 | A: | 1 | 2005 | 1013 | | W | 0 20 | 05-G | B110 | 6 | 2005 | 0324 | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |

GΙ

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EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
     EP 1730112
                            20061213
                                         EP 2005-729157 20050324
                      A1
     EP 1730112
                            20080903
                      B1
        R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV
     JP 2007530648
                                          JP 2007-505618
                       Τ
                           20071101
                                                           20050324
     AT 407120
                       Τ
                            20080915
                                           AT 2005-729157
                                                            20050324
     ES 2313319
                      Т3
                            20090301
                                           ES 2005-729157
                                                            20050324
     US 20070191345
                      A1
                            20070816
                                           US 2006-599002
                                                            20060918
PRIORITY APPLN. INFO.:
                                           GB 2004-7025
                                                            20040329
                                           WO 2005-GB1106
                                                           20050324
OTHER SOURCE(S):
                       CASREACT 143:386931
```

$$\begin{bmatrix} R^1 \\ X \\ X \end{bmatrix}_{n} \begin{bmatrix} R^2 \\ N \end{bmatrix}_{n} \begin{bmatrix} R^3 \\ \delta_2 \end{bmatrix}_{n}$$

The title compds. I [R1 = (un)substituted NH2 or a N containing heterocyclyl; X = a bond, (un)substituted CH2, (CH2)2, etc.; R2 = halo, CN, CF3, etc.; n = 0-3; R3, R4 = H, halo, CN, etc.; A = (un)substituted (hetero)aryl, arylaryl, etc.], useful in the treatment of CNS and other disorders, were prepared Thus, reacting 2-dimethylaminoethanol with 3-phenylsulfonyl-8-iodoquinoline (preparation given) afforded 48% I [R1 = NMe2; X = (CH2)2; R2-R4 = H; A = Ph] which was converted to its HC1 salt which showed antagonist potency for the 5-HT6 receptor, having fpKi > 8.0 at human cloned 5-HT6 receptors. The pharmaceutical composition comprising the compound I is disclosed.

MSTR 1

= NH2

10/599,002

$$G5 = (1-3) 14$$

200 G1 G2

Patent location: claim 1

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 139:265380 MARPAT

TITLE: Hair dye compositions containing quinolinium salts INVENTOR(S): Sauter, Guido; Braun, Hans-Juergen; Duc-Reichlin,

PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| 1 | PATENT NO. | | | | KII | 4D | DATE | | | AE | PLI | CATI | ON NO | ٥. | DATE | | | |
|-------|------------|------|------|------|-----|-----|------|------|-----|-----|-----|--------|-------|-----|------|------|-----|-----|
| | | | | | | | | | | | | | | | | | | |
| 1 | ΕP | 1346 | 719 | | A: | 1 | 2003 | 0924 | | EF | 20 | 02-2 | 5423 | | 2002 | 1115 | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | SK | | |
| | DΕ | 1021 | 1413 | | A: | 1 | 2003 | 0925 | | DE | 20 | 02-1 | 0211 | 413 | 2002 | 0315 | | |
| | | | | | | | | | | US | 20 | 03-3 | 61380 |) | 2003 | 0210 | | |
| 1 | US | 6977 | 001 | | B: | 2 | 2005 | 1220 | | | | | | | | | | |
| 1 | BR | 2003 | 0004 | 96 | A | | 2004 | 0810 | | BE | 20 | 03 - 4 | 96 | | 2003 | 0313 | | |
| PRIOR | ΙT | APP | LN. | INFO | . : | | | | | DE | 20 | 02-1 | 0211 | 413 | 2002 | 0315 | | |
| | | | | | | | | | | | | | | | | | | |

AB The invention concerns hair dyes that are prepared from two components; component Al contains a quinolinium derivative; component Al includes a nucleophile compound Other direct dyes can be added; solns., emulsions, creams, foams, gels can be formulated. Thus component Al contained (g): 4-chloro-1-ethylquinolinium tetrafluoroborate 0.70 decyl glycoside 4.0; EDTA disodium salt 0.2; ethanol 5.0; water to 100. Component Al included: 1,4-diaminobenzene 0.27; decyl glycoside 4.0; EDTA disodium salt 0.2; ethanol 5.0; 252 memonia solution 6.0; water to 100.

MSTR 1

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| I | UA | 2002 | 3417 | 15 | A | 1 | 2003 | 0401 | | Αl | J 20 | 02-3 | 4171 | ō | 2002 | 0916 | | |
|-------|-----|------|-------|------|-----|-----|------|------|-----|-----|------|------|------|-----|------|------|-----|-----|
| Ţ | JS | 2003 | 01393 | 388 | A. | 1 | 2003 | 0724 | | U: | 3 20 | 02-2 | 4462 | 5 | 2002 | 0916 | | |
| Ţ | JS | 6740 | 649 | | B: | 2 | 2004 | 0525 | | | | | | | | | | |
| F | ΞP | 1427 | 408 | | A. | 2 | 2004 | 0616 | | E | 20 | 02-7 | 7586 | ō | 2002 | 0916 | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | SK | | |
| PRIOR | ITY | APP | LN. | INFO | . : | | | | | U: | 3 20 | 01-3 | 2263 |)P | 2001 | 0917 | | |
| | | | | | | | | | | WO | 20 | 02-U | 5296 | 35 | 2002 | 0916 | | |
| | | | | | | | | | | | | | | | | | | |

GI

AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-O interrupted by OCO, OCO2, or OCONRa; O = H or (un)substituted (hetero)cyclyl; R3 = O1, Cl, F, alk(en/yn)ylene-Ql, or (un)substituted alkylene-Ql interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with $(S)-\alpha$ -methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S, 4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1y1)methy1]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH+HC1/MeONa to give the hydroxamic acid (3S, 4S)-II

(33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of $\le 10~\mu\text{M}.$ Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

MSTR 1

G5 = 80-13 78-44 81-17 82-20

G11 = 111

,G15-G14

G14 = Ph G15 = SO2

G17 = 0G19 = C(0)

G29 = 212 / 227

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: substitution is restricted
Note: additional ring formation also claimed

Stereochemistry: or stereoisomers

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 138:78134 MARPAT

TITLE: Direct hair dyes composed of 1-benzopyrane-derivatives

and an electrophilic substance

INVENTOR(S): Sauter, Guido; Braun, Hans-Juergen; Brouillard,

Raymond; Fougerousse, Andre; Roehri-Stoeckel,

PATENT ASSIGNEE(S): Wella Aktiengesellschaft, Germany SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. KIN | | | | | | | | | | | | | | DATE | | | |
|-------|----------------|------|-------|------|-----|-----|------|------|-----|-----|------|-------|-------|-----|-------|------|-----|-----|
| | MO. | 2003 | | | 7.1 | 1 | 2003 | | | | | | | | 2002 | 0206 | | |
| | 110 | W: | | | | | | | | | | | | | BZ, | | CH | CN |
| | | | | | | | | | | | | | | | GB, | | | |
| | | | | | | | | | | | | | | | KZ, | | | |
| | | | | | | | | | | | | | | | NO. | | | |
| | | | | | | | | | | | | | | | TN, | | | |
| | | | | | | | VN. | | | | | 02, | | , | / | / | / | , |
| | | RW: | | | | | | | | | | TZ. | UG. | ZM. | ZW, | AT. | BE. | CH. |
| | | | | | | | | | | | | | | | NL, | | | |
| | | | | | | | | | | | | | | | NE, | | | |
| | DE | 1013 | | | | | | | | | | | | | | | , | |
| | AU | 2002 | 24601 | 34 | A: | 1 | 2003 | 0108 | | Al | J 20 | 02-2 | 1608 | 1 | 20020 | 0206 | | |
| | BR | 2002 | 0056 | 52 | A | | 2003 | 0715 | | BI | R 20 | 02-5 | 562 | | 20020 | 0206 | | |
| | EP | 1404 | 289 | | A. | 1 | 2004 | 0407 | | E | P 20 | 02-7 | 1414 | 7 | 20020 | 0206 | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | |
| | JP | 2004 | 5211 | 44 | T | | 2004 | 0715 | | J | P 20 | 03-50 | 0686 | 1 | 20020 | 0206 | | |
| | US | 2003 | 0196 | 281 | A. | 1 | 2003 | 1023 | | U | S 20 | 03-31 | 30896 | 5 | 20030 | 0320 | | |
| PRIOF | RITY | APP: | LN. | INFO | . : | | | | | D | E 20 | 01-10 | 0130 | 144 | 20010 | 0622 | | |
| | | | | | | | | | | W | 20 | 02-E | 2119 | 4 | 20020 | 0206 | | |

The invention concerns a two component hair dve where the components are mixed in the presence of acids or bases if required to form a direct dve that can be removed with sulfite-containing reducing agents if required. The first component includes 1-benzopyrane-derivs.; the second component contains an electrophilic substance that is selected from the group of carbonyls, imines and 1-alkyl-quinoline derivs. Thus a first components was composed of (g): 7-hydroxy-4-methyl-2-phenyl-1-benzylpyrylium chloride 3.14; cetylstearyl alc. 12.0; Brij 78 P 2.8; ethanol 24.8; water to 100. The second component was a mixture of (g): 4-hydroxy-3-methoxy-benzaldehyde 1.75; cetylstearyl alc. 12.0; Brij 78 P 2.8; ethanol 24.8; water to 100.

MSTR 2

G1-G3 G10

G1 = 10

G3 = 47 / 68

G4 = heteroaryl (opt. substd.) G5 = tolvl

Patent location: claim 1

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 134:17502 MARPAT

TITLE: Preparation of phenoxypropylamine compounds as antagonists of 5-HT1A receptor

Nishiyama, Akira; Bougauchi, Masahiro; Kuroita, INVENTOR(S):

Takanobu; Minoquchi, Masanori; Morio, Yasunori;

Kanzaki, Kouji Welfide Corp., Japan

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 335 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | ENT : | NO. | | KI | /ID | DATE | | | Al | PPLI | CATI | и ис | ٥. | DATE | | | |
|-----|-------|------|-----|-----|-----|------|------|-----|-----|------|------|------|-----|------------|------|-----|-----|
| WO | 2000 | 0715 | 17 | A | 1 | 2000 | 1130 | | W | 20 | 00-J | P327 | 9 | 20000 | 0522 | | |
| | W: | | | | | | | | | | | | | CA,
GH, | | | |
| | | | | | | | | | | | | | | LS,
RO, | | | |
| | | | SI, | | | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| CA | 2375 | 800 | | A: | 1 | 2000 | 1130 | | C | A 20 | 00-2 | 3750 | 8 0 | 20000 | 0522 | | |
| BR | 2000 | 0115 | 42 | A | | 2002 | 0305 | | B | R 20 | 00-1 | 1542 | | 20000 | 0522 | | |
| EP | 1188 | 747 | | A. | 1 | 2002 | 0320 | | E | 20 | 00-9 | 2784 | 4 | 20000 | 0522 | | |
| EP | 1188 | 747 | | В | 1 | 2005 | 0907 | | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | |
| HU | 2002 | 0015 | 40 | A: | 2 | 2002 | 0828 | | H | J 20 | 02-1 | 540 | | 20000 | 0522 | | |

| HU 20 | 002001540 | A3 | 20021228 | | | |
|------------|---------------|----|----------|----|-------------|----------|
| NZ 51 | 16111 | A | 20030530 | NZ | 2000-516111 | 20000522 |
| CN 11 | 164574 | C | 20040901 | CN | 2000-808604 | 20000522 |
| AU 77 | 77594 | B2 | 20041021 | AU | 2000-46160 | 20000522 |
| AT 30 | 3987 | T | 20050915 | AT | 2000-927844 | 20000522 |
| ES 22 | 244438 | T3 | 20051216 | ES | 2000-927844 | 20000522 |
| IL 14 | 46564 | A | 20061231 | IL | 2000-146564 | 20000522 |
| JP 38 | 393878 | B2 | 20070314 | JP | 2000-619774 | 20000522 |
| US 20 | 0020111358 | A1 | 20020815 | US | 2001-990389 | 20011123 |
| US 67 | 720320 | B2 | 20040413 | | | |
| MX 20 | 001012046 | A | 20030904 | MX | 2001-12046 | 20011123 |
| KR 79 | 99134 | B1 | 20080129 | KR | 2001-715024 | 20011123 |
| ZA 20 | 001010137 | A | 20030225 | ZA | 2001-10137 | 20011210 |
| | | A1 | 20040715 | US | 2003-740418 | 20031222 |
| US 71 | 196199 | B2 | 20070327 | | | |
| | | A | 20071213 | KR | 2007-726625 | 20071115 |
| KR 88 | 32544 | B1 | 20090212 | | | |
| PRIORITY A | APPLN. INFO.: | | | JP | 1999-142750 | 19990524 |
| | | | | JΡ | 1999-166160 | 19990614 |
| | | | | JP | 1999-277384 | 19990929 |
| | | | | JP | 2000-18080 | 20000125 |
| | | | | WO | 2000-JP3279 | 20000522 |
| | | | | KR | 2001-715024 | 20011123 |
| | | | | US | 2001-990389 | 20011123 |
| GI | | | | | | |

AB Phenoxypropylamine compds. represented by general formula [I; a bond represented by a solid and a dotted line is a double or single bond; X =

H, HO, C1-8 alkoxy, acyloxy, oxo; R1 = 4-substituted piperidino, piperazino, 1-piperidinylamino, or 1,2,3,6-tetrahydropyrazinyl, (un)substituted aryloxy- or arylthioamino, (un)substituted heterocyclyloxy- or heterocyclylthioamino, etc.; R3 = H, C1-18 alkyl, halo; Ra, Rb, Rc = H, C1-18 alkyl, OH, C1-8 alkoxy, halo, acyl, NO2, NH2], optically active isomers thereof or pharmaceutically acceptable salts thereof and hydrates of the same are prepared These compds. have an affinity selectively for 5-HT1A receptor, simultaneously show an antagonistic activity, and inhibit the reuptake of 5-HT, thereby being usable as antidepressant agents quickly achieving an antidepressant effect (no data). Thus, 4-(3,4-dichlorophenyl)piperazine was added to a solution of (S)-5-(4-glycidyloxybenzo(b)furan-2-yl)-3-methylisoxazole in MeOH and refluxed for 8 h to give (S)-1-(4-(3,4-dichlorophenyl)piperazin-1-yl)-3-(2-(3-methylisoxazole-5-yl)benzo|b]furan-4-yloxyl-2-propanol.

MSTR 1A

10/599,002

$$\begin{array}{c|c} & G2 \\ \hline \\ G4 - CH_2 - C - CH_2 - G3 \\ \hline \\ G1 \end{array}$$

Patent location: claim 1

Note: and pharmacologically acceptable salts or hydrates

REFERENCE COUNT: 151 THERE ARE 151 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L5 ANSWER 12 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 133:89542 MARPAT

TITLE: Preparation of quinoxalines as non-peptide GLP-1

agonists

INVENTOR(S): Teng, Min; Truesdale, Larry Kenneth; Bhumralkar,
Dilip; Kiel, Dan; Johnson, Michael D.; Thomas,
Christine; Jorgensen, Anker Steen; Madsen, Peter;
Olaean, Brahen Houlbarn; Knudsen, Liselatte Blarra;

Christine; Jorgensen, Anker Steen; Madsen, Peter; Olesen, Preben Houlberg; Knudsen, Liselotte Bjerre; Petterson, Ingrid Vivika; Cornelis De Jong, Johannes; Behrens, Carsten; Kodra, Janos Tibor; Lau, Jesper

WO 2000-DK14

20000114

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc. SOURCE: PCT Int. Appl., 194 pp.

SOURCE: PCT Int. Appl., 194 CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA | TENT | NO. | | KIND DATE | | | | | Al | PPLI | | | | | | | |
|---------|-------|---------------|------|-----------|-----|----------|------|-----|--------------|------|------|------|-----|----------|------|-----|-----|
| WO | 2000 | 2000042026 A1 | | | | 20000720 | | | WO 2000-DK14 | | | | | 20000114 | | | |
| | W: | ΑE, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CR, | CU, |
| | | CZ, | DE, | DK, | DM, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, |
| | | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, |
| | | MD, | MG, | MK, | MN, | MW, | MX, | NO, | ΝZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, |
| | | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VN, | YU, | ZA, | zw | |
| | RW: | GH, | GM, | KE, | LS, | MW, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, |
| | | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, |
| | | CG, | CI, | CM, | GA, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | | |
| EP | 1147 | 094 | | A. | 1 | 2001 | 1024 | | E | P 20 | 00-9 | 0049 | 9 | 2000 | 0114 | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO | | | | | | | | | | |
| JP | 2002 | 5345 | 12 | T | | 2002 | 1015 | | J | P 20 | 00-5 | 9359 | 4 | 2000 | 0114 | | |
| US | 6927 | 214 | | В | 1 | 2005 | 0809 | | U: | S 20 | 00-4 | 8350 | 4 | 2000 | 0114 | | |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | D | K 19 | 99-4 | 1 | | 1999 | 0115 | | |
| | | | | | | | | | U | S 19 | 99-1 | 1611 | 6P | 1999 | 0115 | | |

$$R^{1}$$
 R^{2}
 R^{3}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{1}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{3}
 R^{4}

Page 28

GT

AB The title compds. I [R1, R2, R3, R4 independently = H, halogen, CN, CF3, NO2, OR5, lower alkyl, SR5, S(O2)NR5R6, etc (a proviso is given); A, B = H, halogen, OH, CF3, CF2CF3, CN, NO2, alkyl, alkenyl, etc; L, M = (CH2)mS(CH2)n, (CH2)mO(CH2)n, (CH2)mS(O1) (CH2)n, CH2)mS(O2)(CH2)n, etc; X, V = :N or :CD; D = H, halogen, CN, CF3, NO2, etc; m, nidependently = 0, 1, 2, 3, or 4] useful as non-peptide GLP-1 agonists for the treatment and/or prevention of disorders and diseases wherein an activation of the human GLP-1 receptor is beneficial, especially metabolic disorders such as Type 1 diabetes, Type 2 diabetes and obesity (no data), are prepared Formulations are given.

MSTR 1

G1 = 15 / 17 / 29 / 34

$$\begin{smallmatrix} \mathsf{G}3 & \mathsf{G}2 & \mathsf{G}4 & \mathsf{G}5 & \mathsf{g}11 & \mathsf{G}2 & \mathsf{g}4 & \mathsf{G}2 \\ \end{smallmatrix}$$

G2 = alkyl <containing 1-6 C>
(substd. by heterocycle <containing 3-10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), non-aromatic,

0 or more double-exact bonds> (opt. substd.))
G3 = 0

G5 = 19

196-G2

G7 = 1 or more N / 23

_Ç----G8

G13 = 42

4914-G15

G14 = SO2 G15 = 203

Patent location: claim 1

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 120:191707 MARPAT

TITLE: 2-Substituted saccharin derivative proteolytic enzyme

inhibitors

INVENTOR(S): Hlasta, Dennis John; Desai, Ranjit Chimanlal;

Subramanyam, Chakrapani; Lodge, Eric Piatt; Dunlap, Richard Paul; Boaz, Neil Warren; Mura, Albert Joseph;

Latimer, Lee Hamilton

PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA

SOURCE: Eur. Pat. Appl., 77 pp. CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| | PATENT NO. | | | DATE | API | PLICATION NO. | DATE | | |
|-------|-------------|--------|----|----------|-----|---|----------|-----|----|
| 1 | EP 542372 | | A1 | | | 1992-203469
GR, IE, IT, LI | | PT. | SE |
| | | | | | | 1991-793033 | | | |
| | AU 9225340 | | A | 19930520 | AU | 1992-25340 | 19920925 | | |
| | AU 654581 | | B2 | 19941110 | | | | | |
| | | | | | | 1992-2079822 | | | |
| | | | | | NO | 1992-4401 | 19921113 | | |
| 1 | 10 303119 | | B1 | 19980602 | | | | | |
| J | IU 66873 | | A2 | 19950130 | HU | 1992-3566 | 19921113 | | |
| | IL 103748 | | A | 19970218 | IL | | 19921113 | | |
| 1 | RU 2101281 | | C1 | 19980110 | RU | 1992-4381 | 19921113 | | |
| 4 | JP 05194444 | Į. | A | 19930803 | JP | 1992-305295 | 19921116 | | |
| 1 | JS 5371074 | | A | 19941206 | US | 1992-305295
1993-67637
1994-270964
1995-449152 | 19930524 | | |
| 1 | JS 5650422 | | A | 19970722 | US | 1994-270964 | 19940705 | | |
| 1 | JS 5596012 | | A | 19970121 | US | 1995-449152 | 19950524 | | |
| | | | A | 19990223 | | 1997-803297 | | | |
| PRIOR | ITY APPLN. | INFO.: | | | | 1991-793033 | | | |
| | | | | | | | 19890504 | | |
| | | | | | | | 19890504 | | |
| | | | | | | | 19900426 | | |
| | | | | | | 1993-67637 | | | |
| | | | | | US | 1994-270964 | 19940705 | | |

C

10/599,002

$$\mathbb{R}^3$$
 O N (CH=CH) mC (R²) HL_nR¹

AB The title compds. I IL = 0, S, SO, SO2; R1 = (un)substituted Ph, (un)substituted heterocyclyl, etc.; R2 = H, lower alkoxycarbonyl, Ph, PhS; R3 = H, halogen, (un)substituted alkyl, Ph, lower alkoxy, lower alkoxycarbonyl, CN, etc.; R4 = H or 1-3 substituents selected from halogen, CN, NO2, NH2, etc.; R, n = 0, 1; when m = 0 then R1 can only be heterocyclyl and CHR2 can only be bonded to a ring N of R1; when m = 0, n = 1 and L is O, S, or SO, then R2-N4 = H; when m = 0, n = 1, L is S, R2, R4 = H and R3 = halogen; when m = 0, n = 1, and L is SO or SO2 then R2 is lower alkoxycarbonyl and R3 = R4 = H while R1 * substituted Ph], useful for the treatment of degenerative diseases (no data), are prepared Thus, 2-hydroxymethyl-4-chlorosaccharin was reacted with thionyl chloride, producing 2-chloromethyl-4-chlorosaccharin (II). II demonstrated inhibition constant for human leukocyte elastase (rate of reactivation of enzyme to rate of inactivation of enzyme to rate of inactivation of enzyme) of 0.5 nM and 26 nM for a-chymotrypsin.

MSTR 1A

$$G12 = 81$$

Note:

substitution is restricted

L5 ANSWER 14 OF 14 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 119:213908 MARPAT

TITLE: Silver halide photographic material

INVENTOR(S): Fukuwa, Junichi; Kobayashi, Akira; Goto, Kenji

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Can. Pat. Appl., 71 pp.

CODEN: CPXXEB
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | | | |
| CA 2065106 | A1 | 19921005 | CA 1992-2065106 | 19920403 |
| JP 05197057 | A | 19930806 | JP 1992-110787 | 19920403 |
| PRIORITY APPLN. INFO. | : | | JP 1991-99626 | 19910404 |
| CT | | | | |

AB A Ag halide photog. material for high-contrast dot image formation is disclosed. The material comprises a support and provided thereon a Ag halide emulsion layer and layers adjacent to the emulsion layer. The emulsion is subjected to desalinization comprising using denatured gelatin in the process of preparation thereof. At least one of the layers contains a hydrazine derivative and a compound selected from the group consisting of those represented by formulas A(CH2)nSC(:N+HR1)NHR1 X- (A = OH, SO3-, or N(R2)2; R1 = H, (substituted) alkyl having 1-5 C atoms, or (substituted) Phy R2 = (substituted) alkyl having 1-5 C atoms; X- = an anion), (R3)2N(CH2)nSC(S)N(R4)2 (R3 = H, (substituted) alkyl having 1-5 C atoms or (substituted) Phy n = an integer of 2-5), or I (Q = a group of atoms necessary to form a 5- or 6-membered heterocyclic ring which may be condensed with a benzene or heterocyclic ring; M = H, an alkali metal atom, an ammonium group, or an amine residue).

MSTR 3B

G1---G2

G1 = 232

10/599,002

Patent location:

claim 1

=> file reg

=>

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```
chain nodes:
11 12 13 16 17
ring nodes:
12 3 4 5 6 7 8 9 10
chain bonds:
6-12 10-11 11-16 12-13 16-17
ring bonds:
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds:
10-11 11-16 12-13 16-17
exact bonds:
6-12 normalized bonds:
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
isolated ring systems:
containing 1:
```

G1:N, Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:Atom 16:Atom 17:CLASS

L6 STRUCTURE UPLOADED

=> d 16 L6 HAS NO ANSWERS L6 ST

L6 STR
G1
Hy
S02—Cy

G1 N, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 16 sam

SAMPLE SEARCH INITIATED 11:24:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 289 TO ITERATE

100.0% PROCESSED 289 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 4761 TO 6799

PROJECTED ITERATIONS: 4761 TO 6799
PROJECTED ANSWERS: 1 TO 80

L7 1 SEA SSS SAM L6

=> d scan

10/599,002

L7 1 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Furanamine, tetrahydro-4-[[3-(phenylsulfonyl)-8-quinolinyl]oxy]-, hydrochloride (1:1), (3\$,4R)-

MF C19 H18 N2 O4 S . C1 H

Absolute stereochemistry.

NH2

● HC1

ALL ANSWERS HAVE BEEN SCANNED

=> s 16 full

FULL SEARCH INITIATED 11:24:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5502 TO ITERATE

100.0% PROCESSED 5502 ITERATIONS

SEARCH TIME: 00.00.02

L8 4 SEA SSS FUL L6

=> file ca

=> s 18 L9 1 L8

=> d ibib

L9 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 143:386931 CA

TITLE: Preparation of

3-[thetero)arylsulfonyl]-8-[(aminoalkyl)oxy]quinolines as 5-HT6 receptor antagonists for the treatment of CNS

4 ANSWERS

disorders

INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller,

Neil Derek; Trani, Giancarlo; Witty, David R.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Pat

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | | | | | KIND DATE | | | | | | | | | | | | | | |
|----------------------|--------------|------|-----|-----|-------------|------|--------------|------|------|-------------------------|------|------|-----|----------|-----|-----------------|-----|-------|--|
| | | | | | | | | | | | | | | | | | | | |
| WO | 2005 | 0953 | 46 | | A1 20051013 | | | | WO 2 | 005- | GB11 | 06 | | 20050324 | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN. | CO, | CR. | CU, | CZ. | DE, | DK. | DM. | DZ. | EC, | EE, | EG, | ES. | FI. | GB, | GD, | | |
| | | | | | | | ID, | | | | | | | | | | | | |
| | | | | | | | LV, | | | | | | | | | | | | |
| | | | | | | | PL. | | | | | | | | | | | | |
| | | | | | | | TT, | | | | | | | | | | | 7.147 | |
| | DW. | | | | | | MW, | | | | | | | | | | | DN. | |
| | Evi . | | | | | | RU. | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | | | | |
| | | | | | | | GR, | | | | | | | | | | | | |
| | | | | | | | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | | |
| | | | | | TD, | | | | | | | | | | _ | | | | |
| | 1730 | | | | | | | | | EP Z | 005- | /291 | 5/ | | 2 | 0050 | 324 | | |
| EP | 1730 | | | | | | | | | | | | | | | | | | |
| | R: | | | | | | CZ, | | | | | | | | | | | | |
| | | IS, | IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | HR, | LV | | |
| JP | 2007
4071 | 5306 | 48 | | T | | 2007 | 1101 | | JP 2 | 007- | 5056 | 18 | | 2 | 0050 | 324 | | |
| AT | 4071 | 20 | | | T | | 2008 | 0915 | | AT 2 | 005- | 7291 | 57 | | 2 | 0050 | 324 | | |
| ES | 2313 | 319 | | | Т3 | | 2009 | 0301 | | ES 2 | 005- | 7291 | 57 | | 2 | 0050 | 324 | | |
| US | 2007 | 0191 | 345 | | A1 | | 2007 | 0816 | | US 2 | 006- | 5990 | 02 | | 2 | 0060 | 918 | | |
| PRIORITY | | | | | | | | | | GB 2 | | | | | | | | | |
| | | | | | | | | | | WO 2 | 005- | GB11 | 06 | 1 | W 2 | 0050 | 324 | | |
| OTHER SO | URCE | (S): | | | CASI | REAC | T 14 | 3:38 | | | | | | | | | | | |
| OS.CITING REF COUNT: | | | | | | T | HERE
3 CI | ARE | 3 C. | 3 CAPLUS RECORDS THAT C | | | | | | ITE THIS RECORD | | | |
| | | | | | _ | | | | | | | | | | | | | | |

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 11:21:18 ON 30 JUL 2009)

FILE 'REGISTRY' ENTERED AT 11:22:04 ON 30 JUL 2009

L1 STRUCTURE UPLOADED

L2 2 S L1 SAM L3 30 S L1 FULL

FILE 'CA' ENTERED AT 11:22:29 ON 30 JUL 2009 L4 1 S L3

FILE 'MARPAT' ENTERED AT 11:22:40 ON 30 JUL 2009 L5 14 S L3 FULL

FILE 'REGISTRY' ENTERED AT 11:24:23 ON 30 JUL 2009

L6 STRUCTURE UPLOADED L7 1 S L6 SAM

L8 4 S L6 FULL

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FILE 'CA' ENTERED AT 11:24:53 ON 30 JUL 2009
9 1 S L8
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11 12 13 14
ring nodes:
1 2 3 4 5 6 7 8 9 10
chain bonds:
6-13 10-11 11-12 13-14
ring bonds:
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds:
10-11 11-12 13-14
exact bonds:
6-13
normalized bonds:
```

G1:N, Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:Atom

L10 STRUCTURE UPLOADED

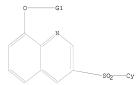
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L109 NOT FOUND

The L-number entered has not been defined in this session, or it has been deleted. To see the L-numbers currently defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>).

=> d 110

L10 HAS NO ANSWERS L10 STR



G1 N, Hy

Structure attributes must be viewed using STN Express query preparation.

=> s 110 full

FULL SEARCH INITIATED 11:26:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5502 TO ITERATE

100.0% PROCESSED 5502 ITERATIONS

26 ANSWERS

SEARCH TIME: 00.00.01

L11 26 SEA SSS FUL L10

=> file ca

=> s 111

Page 39

10/599,002

L12 1 1.11

=> d ibib

L12 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 143:386931 CA

TITLE: Preparation of

3-[(hetero)arvlsulfonvl]-8-[(aminoalkvl)oxv]quinolines as 5-HT6 receptor antagonists for the treatment of CNS

INVENTOR(S): Ahmed, Mahmood; Johnson, Christopher Norbert; Miller, Neil Derek; Trani, Giancarlo; Witty, David R.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Witty, David R

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | ENT : | | | | KIN | D | DATE APPLICATION NO. | | | | | | | | | | | |
|----|-------|---------|-----|-----|-------------|-----|----------------------|------|----------------|----------------|------|------|-----|----------|----------|-----|-----|----|
| WO | 2005 | 0953 | 46 | | A1 20051013 | | | | | WO 2 | 005- | GB11 | | 20050324 | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | AZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | | |
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| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | |
| | | IS, | IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | HR, | LV | |
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OTHER SOURCE(S): CASREACT 143:386931; MARPAT 143:386931 OS.CITING REF COUNT: 3

PRIORITY APPLN. INFO.:

GB 2004-7025 WO 2005-GB1106 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

A 20040329

W 20050324

=> d his

(FILE 'HOME' ENTERED AT 11:21:18 ON 30 JUL 2009)

FILE 'REGISTRY' ENTERED AT 11:22:04 ON 30 JUL 2009 STRUCTURE UPLOADED

| L2
L3 | 2 S L1 SAM
30 S L1 FULL |
|------------------|---|
| L4 | FILE 'CA' ENTERED AT 11:22:29 ON 30 JUL 2009
1 S L3 |
| L5 | FILE 'MARPAT' ENTERED AT 11:22:40 ON 30 JUL 2009
14 S L3 FULL |
| L6
L7
L8 | FILE 'REGISTRY' ENTERED AT 11:24:23 ON 30 JUL 2009
STRUCTURE UPLOADED
1 S L6 SAM
4 S L6 FULL |
| L9 | FILE 'CA' ENTERED AT 11:24:53 ON 30 JUL 2009
1 S L8 |
| L10
L11 | FILE 'REGISTRY' ENTERED AT 11:25:14 ON 30 JUL 2009
STRUCTURE UPLOADED
26 S L10 FULL |
| L12 | FILE 'CA' ENTERED AT 11:26:06 ON 30 JUL 2009
1 S L11 |
| => | |
| Loç | gging off of STN |
| =>
Execut | ting the logoff script |
| => LOO
INTERN | 3 Y
NATIONAL LOGOFF AT 11:26:19 ON 30 JUL 2009 |